

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 October 2005 (13.10.2005)

PCT

(10) International Publication Number
WO 2005/094514 A2

(51) International Patent Classification: Not classified

(21) International Application Number:
PCT/US2005/009810

(22) International Filing Date: 23 March 2005 (23.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/555,925 24 March 2004 (24.03.2004) US

(71) Applicants (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **MERCK SHARP & DOHME LIMITED** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BLACKABY, Wesley** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **DUGGAN, Mark, E.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **HALLETT, David** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **HARTMAN, George, D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **JENNINGS, Andrew, S.** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **LEISTER, William, H.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **LEWIS, Richard, T.** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **LINDSLEY, Craig, W.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 0706-0907 (US). **NAYLOR, Elizabeth** [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **STREET,**

Leslie, J. [GB/GB]; Hertford Road, Hoddesdon Hertfordshire EN11 9BU (GB). **WANG, Yi** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WISNOSKI, David, D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WOLKENBERG, Scott, E.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **ZHAO, Zhijian** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HETEROARYL PIPERIDINE GLYCINE TRANSPORTER INHIBITORS

(57) Abstract: The present invention is directed to pyridyl, pyridazinyl, pyrimidinyl and pyrazinyl piperidine compounds that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurological and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.



WO 2005/094514 A2